## What is Claimed:

1. A process for the synthesis of compounds of formula I:

wherein

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R is hydrogen;

R<sub>1</sub>, R<sub>2</sub> are each, independently, hydrogen, alkyl of 1-6 carbon atoms, alkoxy of 1-6 carbon atoms, halogen, fluorinated alkyl of from 1 to 6 carbon atoms, -CN, -NH-SO<sub>2</sub>-alkyl of 1-6 carbon atoms, -SO<sub>2</sub>-NH-alkyl of 1-6 carbon atoms, alkyl amide of 1-6 carbon atoms, amino, alkylamino of 1-6 carbon atoms, dialkylamino of 1-6 carbon atoms per alkyl moiety, fluorinated alkoxy of 1-6 carbon atoms, acyl of 2-7 carbon atoms, or aroyl, preferably phenoyl or thiophenoyl;

R<sub>3</sub>, R<sub>4</sub> are each independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, cycloalkyl of from 3 to 7 carbon atoms or –CH<sub>2</sub>-cycloalkyl of from 3 to 7 carbon atoms;

wherein the dashed line indicates an optional double bond; the process comprising the steps of:

a) acylating a benzodiazepine compound of the formula:

to give an acylated benzodiazepine of the formula:

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wherein R' represents represents alkyl of from 1 to 10 carbon atoms, preferably 1 to 6 carbon atoms, or a benzyl or napthyl group;

b) reacting the acylated benzodiazepine of step a) with a nitrosating agent
to provide an acylated nitroso benzodiazepine compound of the formula:

c) reducing the acylated nitroso benzodiazepine compound of step b) to yield an acylated 1-aminobenzodiazepine compound of the formula

d) allowing the acylated 1-aminobenzodiazepine compound of step c) to react with a cyclopentanone compound of the formula:

to provide a cyclopentylideneamino benzodiazepine compound of the formula:

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e) reacting the cyclopentylideneamino benzodiazepine compound of step d) to provide an acylated compound of the formula:

; and either

f) deacylating the acylated compound of step e) to provide a compound of the formula:

- 5 which may optionally be reduced; or
  - g) reducing the acylated compound of step e) to provide a compound of the formula:

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h) deacylating the compound of step g) to provide a compound of the formula:

$$R_3$$
  $R_4$   $R_2$   $R_1$ 

A process of Claim 1 for the production of a compound of the formula:

$$R_3$$
  $R_4$   $R_2$   $R_1$ 

comprising the steps a) through f) of Claim 1, wherein  $R_1$ ,  $R_2$ ,  $R_3$ , and  $R_4$  are as defined in Claim 1.

5 3) The process of Claim 2 with an additional step of reducing the compound of the formula:

to produce a compound of the formula:

- 10 wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, and R<sub>4</sub> are as defined in Claim 1.
  - 4) A process of Claim 3 further comprising the step of alkylating the compound of the formula:

45 wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, and R<sub>4</sub> are as defined in Claim 1, to provide an alkylated compound of the formula:

wherein R is an alkyl group of from 1 to 6 carbon atoms.

5) A process according to Claim 1 comprising steps a) through e) of Claim 1
5 to provide an acylated compound of the formula:

followed by reduction of the acylated compound to provide a reduced acylated compound of the formula:

10 and deacylation of the reduced acylated compound to provide a compound of the formula:

wherein  $R_1$ ,  $R_2$ ,  $R_3$ , and  $R_4$  are as defined in Claim 1.

15 6) A process of Claim 5 further comprising the step of alkylating the compound of the formula:

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wherein  $R_1$ ,  $R_2$ ,  $R_3$ , and  $R_4$  are as defined in Claim 1, to provide an alkylated compound of the formula:

$$R_3$$
  $R_4$   $R_2$   $R_1$ 

- wherein R is an alkyl group of from 1 to 6 carbon atoms and R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, and R<sub>4</sub> are as defined in Claim 1.
  - 7) A process of Claim 1 comprising steps a) through f) of Claim 1 to produce a compound of the formula:

wherein  $R_1$ ,  $R_2$ ,  $R_3$ , and  $R_4$  are as defined in Claim 1, and further comprising the step of alkylating the compound to produce an alkylated compound of the formula:

wherein R is an alkyl group of from 1 to 6 carbon atoms and  $R_1$ ,  $R_2$ ,  $R_3$ , and  $R_4$  are as defined in Claim 1.

8) A process of Claim 1 wherein R is hydrogen and  $R_1$ ,  $R_2$ ,  $R_3$ , and  $R_4$  are as defined in Claim 1.

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- 9) A process of Claim 1 wherein R, R, and R3 are hydrogen and R2 and R4 are as defined in Claim 1.
  - 10) A process of Claim 1 wherein R,  $R_{_{1}}$ ,  $R_{_{2}}$ ,  $R_{_{3}}$ , and  $R_{_{4}}$  are each hydrogen.